Access DB# 117416 / 117715

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Lakshmi Channavajjala Examiner #: 74459 Date: 3-22-04

Art Unit: 1615 Phone Number 30 2-0591 Serial Number: 10/031,464

Mail Box and Bldg/Room Location: REM 4C83 (REM 4C70) Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Beta-carboline ~~formulation~~ pharmaceutical Composition

Inventors (please provide full names): Peter Dorsey, Neil Anderson, Martha Kratz

Earliest Priority Filing Date: natl stage of PCT filed 4/26/00, Prov (8/3/99)

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

(1) Please perform a search of the compound of claim 1.

(2) Please search for a tablet & a capsule formulation comprising the compound of Cl. 1 or Beta-carboline carboline compds.

Thanks

L. Channavajjala

****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher:	NA Sequence (#)	STN
Searcher Phone #:	AA Sequence (#)	Dialog
Searcher Location:	Structure (#)	Questel/Orbit
Date Searcher Picked Up:	Bibliographic	Dr.Link
Date Completed:	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time:	Patent Family	WWW/Internet
Online Time:	Other	Other (specify)

PTO-1590 (8-01)

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=> d his ful

     FILE 'HCAPLUS' ENTERED AT 19:05:47 ON 24 MAR 2004
                E OREN PETER/AU
L1            2 SEA ABB=ON  ("OREN PAL ERIC"/AU OR "OREN PAUL E"/AU)
                E ANDERSON NEIL R/AU
L2           17 SEA ABB=ON  ("ANDERSON NEIL R"/AU OR "ANDERSON NEIL ROBERT"/AU)

                E KRAL, MARTHA A/AU
                E KRAL MARTHA A/AU
L3            3 SEA ABB=ON  "KRAL MARTHA A"/AU
L4            0 SEA ABB=ON  L1 AND L2 AND L3
L5           20 SEA ABB=ON  L1 OR L2 OR L3
L6            3 SEA ABB=ON  L5 AND ?CARBOLINE?

     FILE 'REGISTRY' ENTERED AT 19:07:19 ON 24 MAR 2004
L7            8 SEA ABB=ON  (121548-04-7/BI OR 156259-68-6/BI OR 171596-29-5/BI
                  OR 244-63-3/BI OR 25322-68-3/BI OR 31692-85-0/BI OR 57-55-6/BI
                  OR 9003-39-8/BI)

     FILE 'HCAPLUS' ENTERED AT 19:07:30 ON 24 MAR 2004
L8            3 SEA ABB=ON  L6 AND L7

     FILE 'REGISTRY' ENTERED AT 19:14:42 ON 24 MAR 2004
L9            1 SEA ABB=ON  171596-29-5
L10           1 SEA ABB=ON  171596-29-5 OR ?TADALAFIL? OR ?CIALIS?

     FILE 'HCAPLUS' ENTERED AT 19:16:12 ON 24 MAR 2004
                S 171596-29-5/REG# OR ?TADALAFIL? OR ?CIALIS?

     FILE 'REGISTRY' ENTERED AT 19:16:16 ON 24 MAR 2004
L11           1 SEA ABB=ON  171596-29-5/RN
L12           1 SEA ABB=ON  171596-29-5/RN

     FILE 'HCAPLUS' ENTERED AT 19:17:08 ON 24 MAR 2004
L13          82 SEA ABB=ON  L12
L14        6082 SEA ABB=ON  L13 OR ?TADALAFIL? OR ?CIALIS?

     FILE 'REGISTRY' ENTERED AT 19:17:57 ON 24 MAR 2004
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                E BETA CARBONILE/CN
                E BETA CARBOLINE/CN
                E CARBOLINE/CN
L15           2 SEA ABB=ON  CARBOLINE/CN
                E CARBONILE/CN
L16           1 SEA ABB=ON  CARBONIL/CN

     FILE 'HCAPLUS' ENTERED AT 19:22:02 ON 24 MAR 2004
L17         125 SEA ABB=ON  (L14 OR (B OR ?BETA?)(W)?CARBOLIN?) AND (?TABLET?
                  OR ?CAPSUL? OR ?DRUG?(W)?DELIV?)
L18           5 SEA ABB=ON  L17 AND (?MICROCRYST?(W)?CELLULOS? OR ?WETT?(W)?AGE
                  NT?)
L19          16 SEA ABB=ON  L17 AND SEX?(W)?FUNCT?*
L20          20 SEA ABB=ON  L18 OR L19

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     19:27:17 ON 24 MAR 2004
L21          10 SEA ABB=ON  L20
L22           9 DUP REMOV L21 (1 DUPLICATE REMOVED)
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Inventor search – attached

Requested compd – see attached display.

20 cits from CA Plus

9 cits from other databases

* I used this term from claim 26 because there were so few (5) for L18

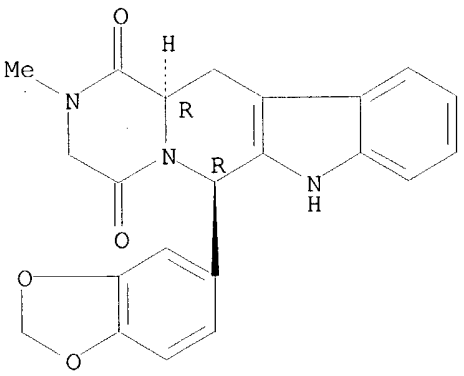
Please let me know if you need further work on this search.

Display requested compound

=> d l12

L12 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **171596-29-5** REGISTRY
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R-trans)-
OTHER NAMES:
CN (6R,12aR)-2,3,6,7,12,12a-hexahydro-2-methyl-6-(3,4-methylenedioxyphenyl)pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione
CN Cialis
CN GF 196960
CN IC 351
CN ICOS 351
CN Tadalafil
FS STEREOSEARCH
DR 240822-07-5, 282541-36-0
MF C22 H19 N3 O4
CI COM
SR CA
LC STN Files: ADISINSIGHT, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PHAR, PROMT, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

81 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
82 REFERENCES IN FILE CAPLUS (1907 TO DATE)
ED Entered STN: 21 Dec 1995

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=> d que stat l20
L12           1 SEA FILE=REGISTRY ABB=ON  171596-29-5/RN
L13          82 SEA FILE=HCAPLUS ABB=ON  L12
L14        6082 SEA FILE=HCAPLUS ABB=ON  L13 OR ?TADALAFIL? OR ?CIALIS?
L17         125 SEA FILE=HCAPLUS ABB=ON  (L14 OR (B OR ?BETA?)(W)?CARBOLIN?)
                 AND (?TABLET? OR ?CAPSUL? OR ?DRUG?(W)?DELIV?)
L18           5 SEA FILE=HCAPLUS ABB=ON  L17 AND (?MICROCRYST?(W)?CELLULOS? OR
                 ?WETT?(W)?AGENT?)
L19          16 SEA FILE=HCAPLUS ABB=ON  L17 AND SEX?(W)?FUNCT?
L20          20 SEA FILE=HCAPLUS ABB=ON  L18 OR L19

=> d ibib abs hitstr l20 1-20

L20  ANSWER 1 OF 20  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:120699  HCAPLUS
DOCUMENT NUMBER:          140:169665
TITLE:                    New sexual-dysfunction
                          -compound-containing rapid-onset pharmaceutical
                          formulations comprising cocoa powder and use thereof
INVENTOR(S):              Lindberg, Nils-olof; Lindell, Katarina; Thyresson,
                          Kristina; Martino, Alice C.
PATENT ASSIGNEE(S):       Pharmacia Ab, Swed.
SOURCE:                   PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
     ----------------  ----  --------      ---------------  --------
     WO 2004012702      A1   20040212      WO 2003-SE1022   20030618
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                    SE 2002-2365     A  20020805
OTHER SOURCE(S):          MARPAT 140:169665
AB   A sexual-dysfunction-compound-containing a rapid-onset
     pharmaceutical composition that comprises cocoa powder, process for
manufacturing the
     composition and use of the composition in sexual dysfunction
     therapy.
IT   171596-29-5, Tadalafil
     RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
     process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological
     study); PROC (Process); USES (Uses)
        (new sexual-dysfunction-compound-containing rapid-onset
        pharmaceutical formulations comprising cocoa powder and use thereof)
RN   171596-29-5  HCAPLUS
CN   Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-
     2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI)  (CA INDEX NAME)
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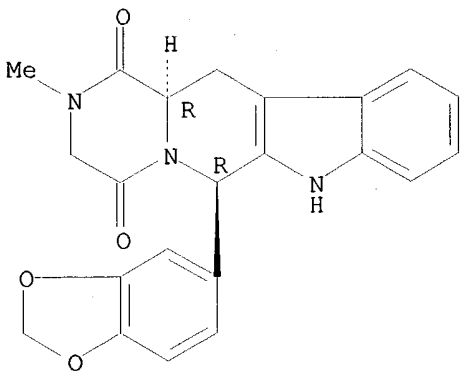
Absolute stereochemistry. Rotation (+).



L20 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60144 HCAPLUS
DOCUMENT NUMBER: 140:117359
TITLE: Treatment of female **sexual dysfunction** with phosphodiesterase inhibitors
INVENTOR(S): Place, Virgil A.; Wilson, Leland F.; Doherty, Paul C.; Hanamoto, Mark S.; Spivack, Alfred P.; Gesundheit, Neil; Bennett, Sean R.; Doherty, Jane
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 499,959.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004014761	A1	20040122	US 2002-279039	20021022
US 5877216	A	19990302	US 1997-959064	19971028
US 6469016	B1	20021022	US 2000-499959	20000208

PRIORITY APPLN. INFO.: US 1997-959057 B2 19971028
US 1997-959064 A2 19971028
US 1998-181316 B3 19981027
US 2000-499959 A2 20000208

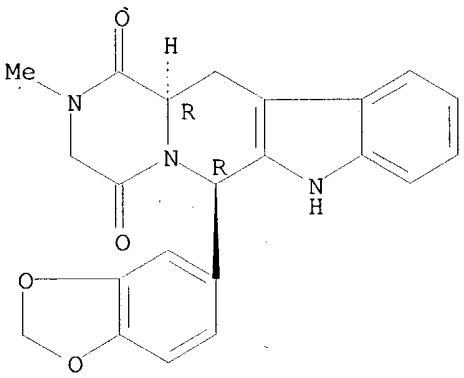
AB A topical pharmaceutical composition is provided for the treatment of female **sexual dysfunction**, wherein the composition is formulated so as to contain a therapeutically effective amount of a phosphodiesterase inhibitor and a pharmaceutically acceptable carrier for topical administration. The phosphodiesterase inhibitor is generally selected from Type III, Type IV, Type V, and nonspecific phosphodiesterase inhibitors. Examples of cream and suppository formulations of sildenafil, **tadalafil** and TA-1790 are given.

IT **171596-29-5, Tadalafil**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(phosphodiesterase inhibitors for treatment of female **sexual dysfunction**)

RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-

2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:818141 HCAPLUS
DOCUMENT NUMBER: 139:312448
TITLE: Methods of treating medication-, substance-, disease-, and other medical condition-related **sexual dysfunction**
INVENTOR(S): Shapira, Nathan Andrew
PATENT ASSIGNEE(S): University of Florida, USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003195186	A1	20031016	US 2003-411644	20030410
WO 2003086372	A2	20031023	WO 2003-US10994	20030410

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-371666P P 20020410

AB Many males and females experience **sexual dysfunction** either caused or made worse by medications, other substances, diseases, and other medical conditions. Currently, there is need for addnl. treatment alternatives for these patients' **sexual dysfunction**. The subject invention provides a novel treatment for these individuals with **sexual dysfunction** by inhibiting the enzyme that breaks down acetylcholine (a compound that helps modulate normal **sexual function**) and elevates acetylcholine levels in the body. The acetylcholinesterase inhibitor is

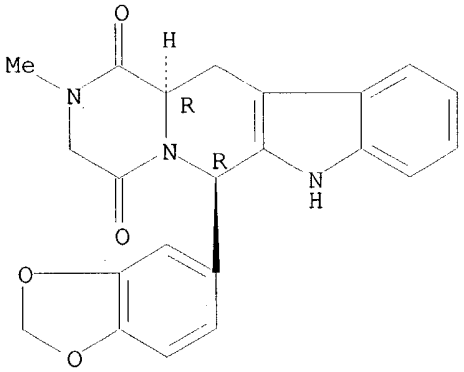
selected from the group consisting of donepezil, galantamine, tacrine, eptastigmine, physostigmine, rivastigmine, metrifonate, neostigmine, huperzine A, and combinations thereof.

IT **171596-29-5, Tadalafil**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetylcholinesterase inhibitor in combination with other actives for treatment of **sexual dysfunction**)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:419328 HCAPLUS

DOCUMENT NUMBER: 139:357661

TITLE: The etiology of erectile dysfunction and mechanisms by which drugs improve erection

AUTHOR(S): Galle, Gunter; Trummer, Harald

CORPORATE SOURCE: Department of Urology, Karl-Franzens University of Graz, Austria

SOURCE: Drugs of Today (2003), 39(3), 193-201
CODEN: MDACAP; ISSN: 0025-7656

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Following the National Institutes of Health (NIH) consensus conference in 1988, erectile dysfunction is defined as the consistent inability to maintain a penile erection sufficient for adequate sexual relations. The advances in basic and clin. research during the last two decades have led to the development of several new treatment options for erectile dysfunction, including new pharmacol. agents for intracavernosal, intraurethral and oral use. The recent advent of medical therapy and the poor results of long-term follow-up in reconstructive vascular surgery, have significantly modified the medical management of this disorder. Discussion of erectile dysfunction has increased, information about erectile dysfunction is increasingly available, training in erectile dysfunction was improved and last, but not least, the number of patients seeking help for erectile dysfunction is growing, because satisfactory **sexual function** is an important part of a couple's healthy relationship and ongoing quality of life.

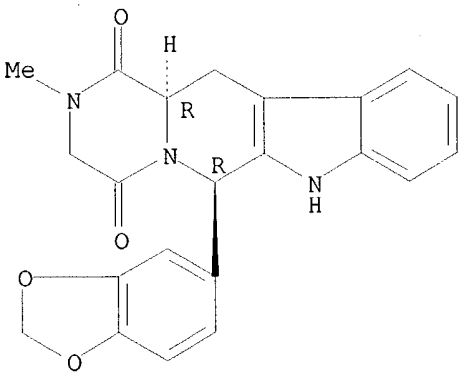
IT **171596-29-5, Tadalafil**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological

activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drugs- anr other factors-induced erectile dysfunction and mechanisms by which drugs improve erection)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:792003 HCAPLUS

DOCUMENT NUMBER: 137:299922

TITLE: Nasal spray compositions containing cGMP-PDE inhibitors and local anesthetics for the treatment of male erectile disfunction

INVENTOR(S): Serno, Peter; Ohm, Andreas; Barth, Wolfgang; Bauer, Richard-Josef; Siefert, Hans-Martin; Zimmer, Dieter

PATENT ASSIGNEE(S): Bayer AG, Germany

SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10118305	A1	20021017	DE 2001-10118305	20010412
WO 2002083108	A2	20021024	WO 2002-EP3977	20020410
WO 2002083108	A3	20030410		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

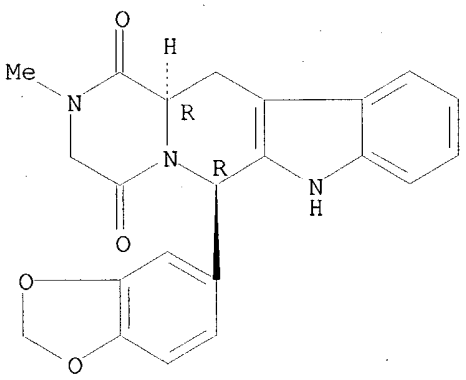
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1383486	A2	20040128	EP 2002-761908	20020410

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: DE 2001-10118305 A 20010412
WO 2002-EP3977 W 20020410
OTHER SOURCE(S): MARPAT 137:299922
AB The present invention concerns compns. for nasal application of cGMP-PDE inhibitors, in particular of PDE5-inhibitors, and local anesthetics; local anesthetics is not benzylalc. The compns. further contain antioxidants, surfactants, stabilizers, **wetting agents**, etc.; nasal sprays and powder inhalants are claimed. Thus a powder composition contained (kg): Sildenafil citrate, micronized 25.0; lidocaine hydrochloride 10.0; lactose 65.0. The homogenized mixture was filled in aliquots of 20 mg into inhaler vials.
IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(nasal compns. containing cGMP-PDE inhibitors and local anesthetics for treatment of male erectile disfunction)
RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:241329 HCAPLUS
DOCUMENT NUMBER: 136:284433
TITLE: Administration of phosphodiesterase inhibitors for the treatment of premature ejaculation
INVENTOR(S): Wilson, Leland F.; Doherty, Paul C.; Place, Virgil A.; Smith, William L.; Abdel-Hamid, Abdou Ali Ibrahim Aboubakr
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 467,094.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037828	A1	20020328	US 2001-888250	20010621
US 6403597	B2	20020611		
US 6037346	A	20000314	US 1998-181070	19981027

US 6548490 B1 20030415 US 1999-467094 19991210
WO 2003000343 A2 20030103 WO 2002-US9415 20020325
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 1997-958816 B2 19971028
US 1998-181070 A2 19981027
US 1999-467094 A2 19991210
US 2001-888250 A 20010621

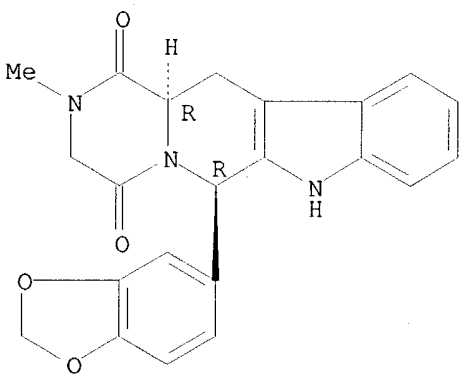
AB A method is provided for treatment of premature ejaculation by administration of a phosphodiesterase inhibitor, e.g., an inhibitor of a Type III, Type IV, or Type V phosphodiesterase. In a preferred embodiment, administration is on as "as needed" basis, i.e., the drug is administered immediately or several hours prior to sexual activity. Pharmaceutical formulations and packaged kits are also provided. Zaprinast 1.0, mannitol 1.0, **microcryst. cellulose** 2.0, and magnesium stearate 10 mg are blended in a suitable mixer and then compressed into sublingual **tablets**. Each sublingual **tablet** contains 10 mg zaprinast.

IT **171596-29-5**, GF 196960
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GF 196960; administration of phosphodiesterase inhibitors for treatment of premature ejaculation)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:51273 HCAPLUS
DOCUMENT NUMBER: 136:96099
TITLE: Treatment of male **sexual dysfunction**
INVENTOR(S): Naylor, Alasdair Mark; Van der Graaf, Pieter Hadewijn; Wayman, Christopher Peter
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002003995	A2	20020117	WO 2001-IB1187	20010702
WO 2002003995	A3	20020418		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002052370	A1	20020502	US 2001-893585	20010628
EP 1296687	A2	20030402	EP 2001-947709	20010702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502735	T2	20040129	JP 2002-508449	20010702

PRIORITY APPLN. INFO.:

GB 2000-16684	A	20000706
GB 2000-30647	A	20001215
GB 2001-6167	A	20010313
GB 2001-8483	A	20010404
US 2000-219100P	P	20000718
GB 2001-1584	A	20010122
US 2001-274957P	P	20010312
WO 2001-IB1187	W	20010702

OTHER SOURCE(S): MARPAT 136:96099

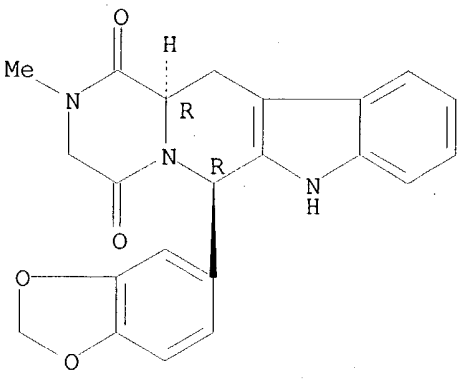
AB The present invention relates to the use of neutral endopeptidase inhibitors (NEPi) and a combination of NEPi and phosphodiesterase type (PDE5) inhibitor for the treatment of male **sexual dysfunction**, in particular MED.

IT **171596-29-5**, IC-351
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of male **sexual dysfunction** using neutral endopeptidase inhibitors and their combination with phosphodiesterase type 5 inhibitors and other agents in relation to inhibition of angiotensin converting enzyme)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:924320 HCAPLUS
DOCUMENT NUMBER: 136:31728
TITLE: Daily treatment for erectile dysfunction using a phosphodiesterase 5 (PDE5) inhibitor
INVENTOR(S): Whitaker, John S.; Saenz de Tejada, Inigo; Ferguson, Kenneth M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 558,911.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001053780	A1	20011220	US 2001-834442	20010413
EP 1173181	A2	20020123	EP 2000-926367	20000426
EP 1173181	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6451807	B1	20020917	US 2000-558911	20000426
JP 2002543116	T2	20021217	JP 2000-614984	20000426
BR 2000010181	A	20030225	BR 2000-10181	20000426
NZ 514882	A	20030829	NZ 2000-514882	20000426
AT 251908	E	20031115	AT 2000-926367	20000426
HR 2001000778	A1	20021231	HR 2001-778	20011023
NO 2001005275	A	20011206	NO 2001-5275	20011029
US 2003100478	A1	20030529	US 2002-198903	20020719
US 2003144296	A1	20030731	US 2003-341664	20030114

PRIORITY APPLN. INFO.:
US 1999-132036P P 19990430
US 2000-558911 A2 20000426
WO 2000-US11129 W 20000426
US 2001-834442 A3 20010413

AB The invention provides phosphodiesterase (PDE) enzyme inhibitors and to their use in pharmaceutical articles of manufacture In particular, the invention provides potent inhibitors of cyclic guanosine 3',5'-monophosphate specific phosphodiesterase type 5 (PDE5) that, when incorporated into a pharmaceutical product at about 1-10 mg unit dosage, are useful for the treatment of **sexual dysfunction** by daily administration of the PDE5 inhibitor. The articles of manufacture

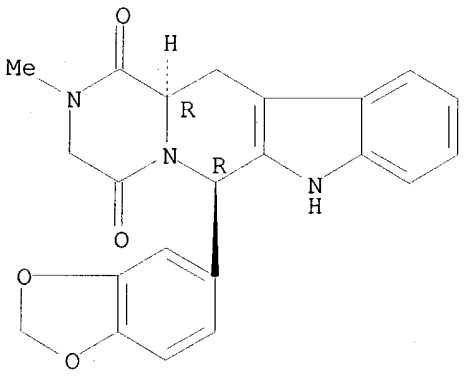
described are characterized by PDE5 inhibition, and accordingly, provide a benefit in therapeutic areas where inhibition of PDE5 is desired, especially erectile dysfunction, with minimization or elimination of adverse side effects resulting from inhibition of other phosphodiesterase enzymes and with an improvement of vascular conditioning.

IT **171596-29-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 5 inhibitor for daily treatment for erectile dysfunction)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:916407 HCAPLUS
DOCUMENT NUMBER: 136:53755
TITLE: Synthesis of nitrosated and nitrosylated (hetero)cyclic phosphodiesterase inhibitors used in treatment of **sexual dysfunction**
INVENTOR(S): Garvey, David S.; Saenz de Tejada, Inigo; Earl, Richard A.; Khanapure, Subhash P.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: U.S., 117 pp., Cont.-in-part of U.S. 5,958,926.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6331543	B1	20011218	US 1999-387727	19990901
US 5874437	A	19990223	US 1996-740764	19961101
WO 9819672	A1	19980514	WO 1997-US19870	19971031
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5958926	A	19990928	US 1998-145142	19980901
US 2002019405	A1	20020214	US 2001-941691	20010830
US 6462044	B2	20021008		
US 2003023087	A1	20030130	US 2002-216886	20020813

PRIORITY APPLN. INFO.: US 1996-740764 A2 19961101

WO 1997-US19870 A2 19971031
US 1998-145142 A2 19980901
US 1999-387727 A1 19990901
US 2001-941691 A3 20010830

OTHER SOURCE(S): MARPAT 136:53755
GI

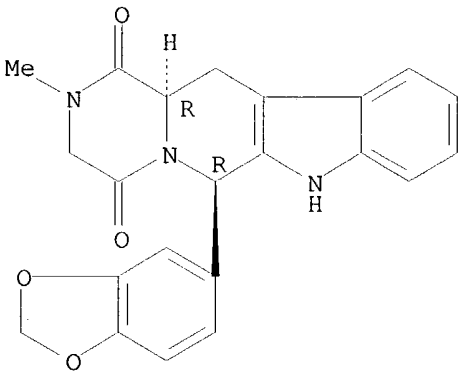
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I-V, derivs. thereof, and certain substituted Ph and phthalzaine derivs. were claimed [D2 = H, alkyl, D; D = NO, NO2, alkyl, acyl, phosphoryl, silyl, etc.; A1-3 comprise the other subunits of a 5- or 6-membered monocyclic aromatic ring; R8 = H, (halo)alkyl; p = 1-10; R24 = H, cyclohexyl, piperidinyl, etc., with the proviso that at least one of A1-3, J, or R24 contains T-Q or D; T = bond, O, S(O), amino; Q = NO, NO2; D1 = D or H; R37 = (hetero)aryl; R38 = H, halo, alkyl; G1 = alkyl, alkenyl or is part of a ring fused to the piperidine moiety of III; G4 = O, S; R40 = H, alkyl, haloalkyl, halo, etc.; R41 = alkyl, hydroxyalkyl, alkylcarboxy, etc.; R42 = aryl, alkylaryl, alkyloxyaryl; T1 = alkyl, oxyalkyl, thioalkyl, aminoalkyl]. Two synthetic examples were provided. E.g., the S-nitroso derivative of the 3-mercapto-3-methylbutyric acid ester of dipyridamole (VI) was prepared in 4 steps from dipyridamole in 3.5% overall yield. VI at doses of 10 and 30 μM was more efficacious in relaxing phenylephrine-induced tissue contraction than was the known phosphodiesterase inhibitor, dipyridamole. The present invention describes novel (nitrosated/nitrosylated) phosphodiesterase inhibitors, and compns. containing at least one (nitrosated/nitrosylated) phosphodiesterase inhibitor, and, optionally, one or more compds. that donate, transfer or release NO, elevate endogenous levels of endothelium-derived relaxing factor, stimulate endogenous synthesis of NO, or is a substrate for nitric oxide synthase and/or one or more vasoactive agents. The present invention also provides methods for treating or preventing **sexual dysfunctions** in males and females, for enhancing sexual responses in males and females, and for treating or preventing diseases induced by the increased metabolism of cGMP, such as hypertension, pulmonary hypertension, etc.

IT **171596-29-5D**, ICOS 351, nitroso derivs.
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthesis of nitrosated and nitrosylated (hetero)cyclic phosphodiesterase inhibitors used in treatment of **sexual dysfunction**)

RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:798055 HCAPLUS
DOCUMENT NUMBER: 135:339295
TITLE: Daily treatment for erectile dysfunction using a phosphodiesterase 5 (PDE5) inhibitor
INVENTOR(S): Whitaker, John S.; Saenz de Tejada, Inigo; Ferguson, Kenneth M.
PATENT ASSIGNEE(S): Lilly Icos LLC, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080860	A2	20011101	WO 2001-US12512	20010413
WO 2001080860	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6451807	B1	20020917	US 2000-558911	20000426
EP 1276481	A2	20030122	EP 2001-927133	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010373	A	20030218	BR 2001-10373	20010413
JP 2003531174	T2	20031021	JP 2001-577959	20010413
NO 2002005138	A	20021216	NO 2002-5138	20021025
ZA 2002008776	A	20030603	ZA 2002-8776	20021030

PRIORITY APPLN. INFO.:		
US 2000-558911	A	20000426
US 1999-132036P	P	19990430
WO 2001-US12512	W	20010413

AB The invention relates to phosphodiesterase (PDE) enzyme inhibitors and to their use in pharmaceutical articles of manufacture In particular, the

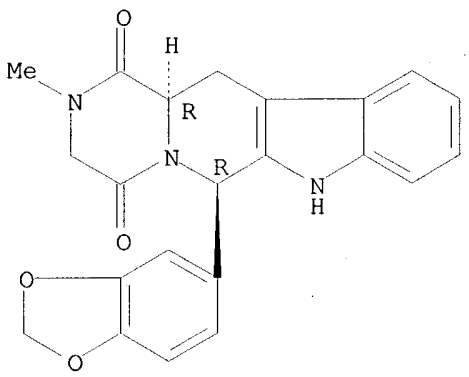
invention relates to potent inhibitors of cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase type 5 (PDE5) that, when incorporated into a pharmaceutical product at about 1 to about 10 mg unit dosage, are useful for the treatment of **sexual dysfunction** by daily administration of the PDE5 inhibitor. The articles of manufacture are characterized by PDE5 inhibition, and accordingly provide a benefit in therapeutic areas where inhibition of PDE5 is desired, especially erectile dysfunction, with minimization or elimination of adverse side effects resulting from inhibition of other phosphodiesterase enzymes and with an improvement of vascular conditioning.

IT **171596-29-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 5 inhibitor for daily treatment for **sexual dysfunction**)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:713326 HCAPLUS
DOCUMENT NUMBER: 135:272990
TITLE: Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists
INVENTOR(S): Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ye, Zhixiong
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070708	A1	20010927	WO 2001-US8935	20010320

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,

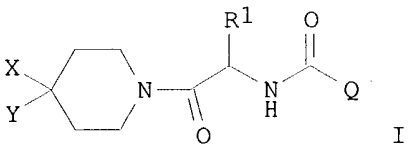
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002019523	A1	20020214	US 2001-812965 20010320
US 6458790	B2	20021001	
EP 1268449	A1	20030102	EP 2001-922501 20010320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003528088	T2	20030924	JP 2001-568918 20010320

PRIORITY APPLN. INFO.: US 2000-191442P P 20000323
US 2000-242265P P 20001020
WO 2001-US8935 W 20010320

OTHER SOURCE(S): MARPAT 135:272990

GI



I

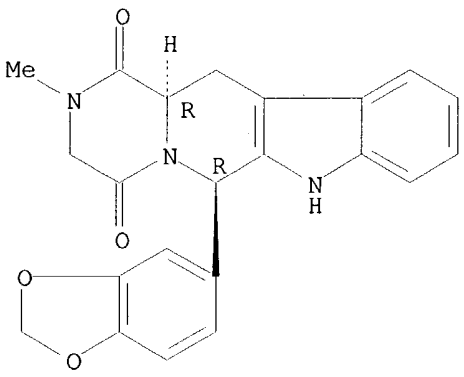
AB Title compds. [I; Q = (substituted) (fused) piperazinyl, morpholinyl, thiomorpholinyl; R1 = H, alkyl, (substituted) cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), etc.; X = (substituted) alkyl, cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), heterocyclyl(alkyl), cyano(alkyl), aminosulfonyl(alkyl), etc.; Y = H, alkyl, cycloalkyl(alkyl), (substituted) aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl)], were prepared as melanocortin-4 receptor (MC-4R) agonists. Thus, **capsule** formulations containing title compound (II) were prepared Representative I activated MC-4R with IC50<1 μM. I are claimed for the treatment of obesity, diabetes, and **sexual dysfunction** including erectile dysfunction and female **sexual dysfunction.**

IT **171596-29-5**, IC-351
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:559496 HCAPLUS
DOCUMENT NUMBER: 135:117266
TITLE: Treatment of **sexual function** disorders with phosphodiesterase 4 inhibitors as monotherapy or in combination with other phosphodiesterase inhibitors or adenylate cyclase activators
PATENT ASSIGNEE(S): Stief, Christian, Germany
SOURCE: Ger. Offen., 4 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10004289	A1	20010802	DE 2000-10004289	20000201

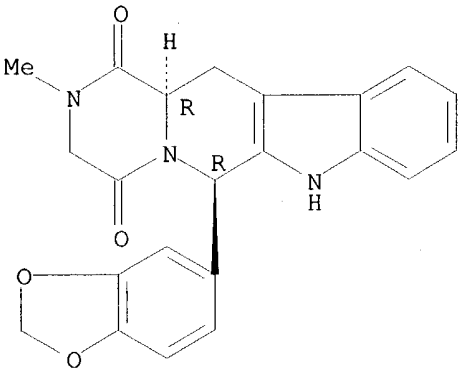
PRIORITY APPLN. INFO.: DE 2000-10004289 20000201

AB The invention provides a medicament containing a phosphodiesterase 4 inhibitor as monotherapy or in combination with other phosphodiesterase inhibitors or adenylate cyclase activators for the treatment of s **sexual function** disorders.

IT **171596-29-5**, IC 351
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 inhibitors as monotherapy or in combination with other phosphodiesterase inhibitors or adenylate cyclase activators for treatment of **sexual function** disorders)

RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:541505 HCAPLUS
DOCUMENT NUMBER: 135:132460
TITLE: Treatment of **sexual function** disorders with guanylate cyclase activators, optionally in combination with phosphodiesterase inhibitors
INVENTOR(S): Stief, Christian; Magerl, Hans-Jurgen; Kuthe, Andrea; Uckert, Stefan; Becker, Armin; Farssmann, Wolf Georg; Jones, Udo
PATENT ASSIGNEE(S): Germany
SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10002200	A1	20010726	DE 2000-10002200	20000119

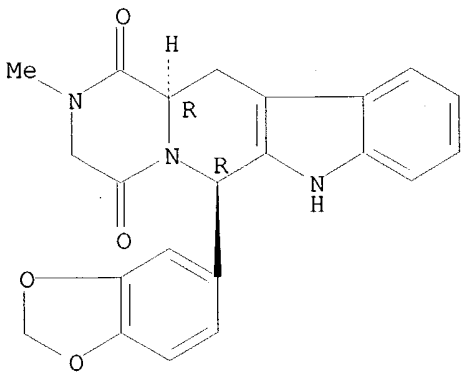
PRIORITY APPLN. INFO.: DE 2000-10002200 20000119

AB Medicaments containing activators of guanylate cyclase and their variants, individually or in combination with phosphodiesterase inhibitors, are provided for the treatment of **sexual function** disorders. e.g. erectile dysfunction.

IT **171596-29-5**, IC 351
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(guanylate cyclase activators, optionally in combination with phosphodiesterase inhibitors, for treatment of **sexual function** disorders)

RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100983 HCAPLUS
DOCUMENT NUMBER: 134:152655
TITLE: Pharmaceutical compositions containing **.beta .-carboline** drugs
INVENTOR(S): Anderson, Neil R.; Hartauer, Kerry J.; Kral, Martha A.; Stephenson, Gregory A.
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008688	A2	20010208	WO 2000-US20981	20000801
WO 2001008688	A3	20010816		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012901	A	20020416	BR 2000-12901	20000801
EP 1200092	A2	20020502	EP 2000-952371	20000801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505510	T2	20030212	JP 2001-513418	20000801
NZ 516613	A	20030829	NZ 2000-516613	20000801
ZA 2002000825	A	20030207	ZA 2002-825	20020130
NO 2002000531	A	20020403	NO 2002-531	20020201

PRIORITY APPLN. INFO.: US 1999-147048P P 19990803
WO 2000-US20981 W 20000801

AB Pharmaceutical compns. containing β **-carboline** drugs and pharmaceutically acceptable salts and solvates thereof, wherein the drug is in free particulate form, is disclosed. A **tablet** contained a β **-carboline** drug 10.00, lactose monohydrate 153.80, spray dried lactose monohydrate 25.00, hydroxypropyl

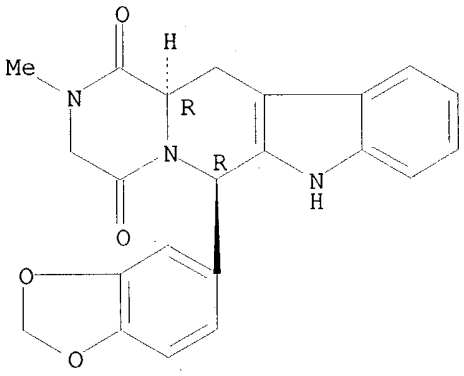
cellulose 4.00, croscarmellose sodium 16.00, hydroxypropyl cellulose 1.75, sodium lauryl sulfate 0.70, **microcryst. cellulose** 37.50, and magnesium stearate 1.25 mg. The improvement in bioavailability of the drug was demonstrated in humans.

IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing β **-carboline** drugs)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100982 HCAPLUS
DOCUMENT NUMBER: 134:152654
TITLE: β **-Carboline** pharmaceutical compositions
INVENTOR(S): Anderson, Neil R.; Gullapalli, Rampurna P.
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008687	A1	20010208	WO 2000-US11136	20000426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1200091	A1	20020502	EP 2000-926371	20000426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
ZA 2002000823	A	20030204	ZA 2002-823	20020130

PRIORITY APPLN. INFO.: US 1999-146924P P 19990803
WO 2000-US11136 W 20000426

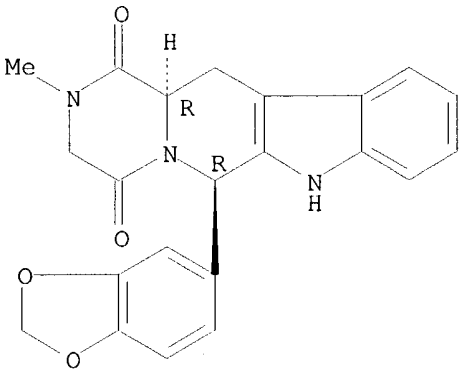
AB β -**Carboline** soft **capsules** contains a solution or suspension of a PDE5 inhibitor, and are useful for treating **sexual dysfunction**. Thus, a formulation contained a . **beta.-carboline** 25.0, Capmul MCM 177.5, Gelucire 44/14 177.5, and propylene glycol 20.0 mg/**capsule**. In the phys. study of the above **capsule** formulation, no sedimentation was observed after storage at 4° for 120 days.

IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β -**carboline** pharmaceutical compns.)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100981 HCAPLUS
DOCUMENT NUMBER: 134:152653
TITLE: β -**Carboline** pharmaceutical compositions containing cellulose
INVENTOR(S): Oren, Peter L.; Anderson, Neil R.; Kral, Martha A.
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008686	A1	20010208	WO 2000-US11130	20000426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

BR 2000012863	A	20020416	BR 2000-12863		20000426
EP 1200090	A1	20020502	EP 2000-926368		20000426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003505509	T2	20030212	JP 2001-513416		20000426
NZ 516616	A	20030725	NZ 2000-516616		20000426
ZA 2002000823	A	20030204	ZA 2002-823		20020130
NO 2002000532	A	20020326	NO 2002-532		20020201
PRIORITY APPLN. INFO.:			US 1999-146924P	P	19990803
			WO 2000-US11130	W	20000426

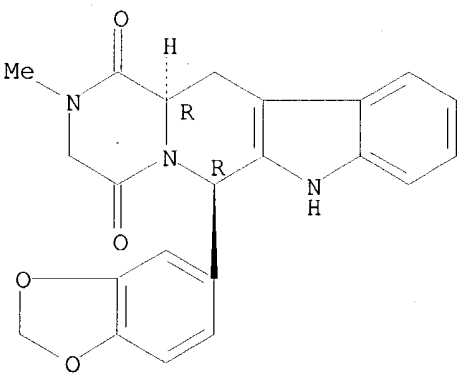
AB β -**Carboline** formulations contain a c-GMP phosphodiesterase inhibitor, a water-soluble diluent, a lubricant, a hydrophilic binder, a disintegrant, and optional **microcryst. cellulose** and/or a **wetting agent**, are useful for treating **sexual dysfunction.** Thus, a **tablet** formulation contained a β **-carboline** 5.00, lactose monohydrate 109.655, lactose monohydrate (spray dried) 17.50, Hydroxypropyl cellulose 4.025, croscarmellose sodium 6.30, SLS 0.49, **microcryst. cellulose** (granular-102) 26.25, croscarmellose sodium 4.90, and Mg stearate 0.88 mg/**tablet.**

IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β **-carboline** pharmaceutical compns. containing cellulose)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2000:686171 HCAPLUS
DOCUMENT NUMBER:	133:271672
TITLE:	Phosphodiesterase inhibitor preparation for treatment of **sexual functional** disorders
PATENT ASSIGNEE(S):	Lilly Icos Llc, USA
SOURCE:	Ger. Gebrauchsmusterschrift, 47 pp. CODEN: GGXXFR
DOCUMENT TYPE:	Patent
LANGUAGE:	German

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 20007861	U1	20000928	DE 2000-20007861	20000426
NO 2000002097	A	20011026	NO 2000-2097	20000425
ES 2187234	A1	20030516	ES 2000-1055	20000425
CA 2307101	AA	20001030	CA 2000-2307101	20000426
CA 2307101	C	20030128		
FI 2000000976	A	20001030	FI 2000-976	20000426
NL 1015027	A1	20001031	NL 2000-1015027	20000426
NL 1015027	C2	20010214		
SE 2000001518	A	20001031	SE 2000-1518	20000426
ZA 2000002058	A	20001102	ZA 2000-2058	20000426
WO 2000066099	A2	20001109	WO 2000-US11129	20000426
WO 2000066099	A3	20010118		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10021266	A1	20001116	DE 2000-10021266	20000426
PT 102457	A	20001130	PT 2000-102457	20000426
JP 2000336043	A2	20001205	JP 2000-126472	20000426
FR 2795646	A1	20010105	FR 2000-5296	20000426
FR 2795646	B1	20020816		
GB 2351663	A1	20010110	GB 2000-10199	20000426
LT 4758	B	20010226	LT 2000-35	20000426
LV 12560	B	20010420	LV 2000-56	20000426
CN 1292264	A	20010425	CN 2000-106987	20000426
SI 20361	C	20010430	SI 2000-107	20000426
BE 1012957	A5	20010605	BE 2000-295	20000426
NZ 504163	A	20011130	NZ 2000-504163	20000426
HR 2000000243	A1	20011231	HR 2000-243	20000426
EP 1173181	A2	20020123	EP 2000-926367	20000426
EP 1173181	B1	20031015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
LU 90569	A2	20020227	LU 2000-90569	20000426
CH 692478	A	20020715	CH 2000-81900	20000426
BR 2000003046	A	20020723	BR 2000-3046	20000426
JP 2002543116	T2	20021217	JP 2000-614984	20000426
BR 2000010181	A	20030225	BR 2000-10181	20000426
NZ 514882	A	20030829	NZ 2000-514882	20000426
SG 98384	A1	20030919	SG 2000-2287	20000426
AT 251908	E	20031115	AT 2000-926367	20000426
HR 2001000778	A1	20021231	HR 2001-778	20011023
NO 2001005275	A	20011206	NO 2001-5275	20011029
ZA 2001008900	A	20030108	ZA 2001-8900	20011029

PRIORITY APPLN. INFO.: US 1999-132036P P 19990430
WO 2000-US11129 W 20000426

AB A formulation for the treatment of **sexual malfunctions** (e.g., erectile dysfunction in men and decreased libido in women) which contains a phosphodiesterase 5 inhibitor with a IC50 of at least 100-fold lower than that with phosphodiesterase 6 as active ingredient, and which

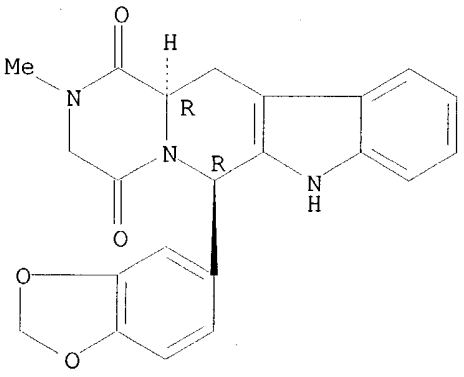
inhibits phosphodiesterase 5 with an IC50 of at least 1000-fold lower than for phosphodiesterase 1c and a IC50 for PDE5 of below 10 nM.

IT **171596-29-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(phosphodiesterase inhibitor preparation for treatment of **sexual functional** disorders)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L20 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:666601 HCAPLUS
DOCUMENT NUMBER: 133:256811
TITLE: Pharmaceutical compositions containing dopamine agonists in combination with nitric oxide donors for treating and/or preventing **sexual dysfunctions**
INVENTOR(S): Garvey, David S.
PATENT ASSIGNEE(S): Nitromed, Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000054773	A1	20000921	WO 2000-US3709	20000310

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-123920P P 19990312
OTHER SOURCE(S): MARPAT 133:256811

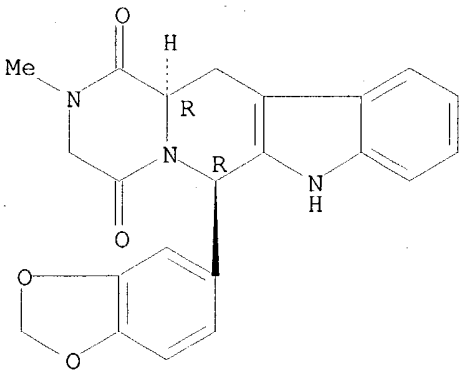
AB The present invention is directed to novel compns. comprising at least one dopamine agonist in combination with at least one nitric oxide donor (i.e. compds. that donate, transfer or release nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor, stimulate endogenous synthesis of nitric oxide or are substrates for nitric oxide synthase). The novel compns. may optionally comprise at least one therapeutic agent, such as, a vasoactive agent, an antiemetic agent, and mixts. thereof. The dopamine agonist is preferably apomorphine. The present invention is also directed to methods for treating and/or preventing **sexual dysfunctions** and/or enhancing sexual responses in patients. In other embodiments, the present invention is directed to methods treating or preventing neurodegenerative diseases, mitochondrial diseases, spinal cord injury, central or psychostimulant addiction, senile dementia, circulatory disorders, cardiovascular disorders, hyperprolactinemia or myopia. The compds. and/or compns. of the present invention can also be provided in the form of a pharmaceutical kit (no data).

IT **171596-29-5**, Ic 351
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing dopamine agonists in combination with nitric oxide donors for treating and/or preventing **sexual dysfunctions**)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:753072 HCAPLUS
DOCUMENT NUMBER: 131:346565
TITLE: Combination of phentolamine and cyclic GMP phosphodiesterase inhibitors for the treatment of **sexual dysfunction**
INVENTOR(S): Estok, Thomas Mark
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959584	A1	19991125	WO 1999-US7046	19990517
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940685	A1	19991206	AU 1999-40685	19990517

PRIORITY APPLN. INFO.:

US 1998-81640	A	19980520
US 1998-82977	A2	19980521
US 1998-106517	A	19980629
WO 1999-US7046	W	19990517

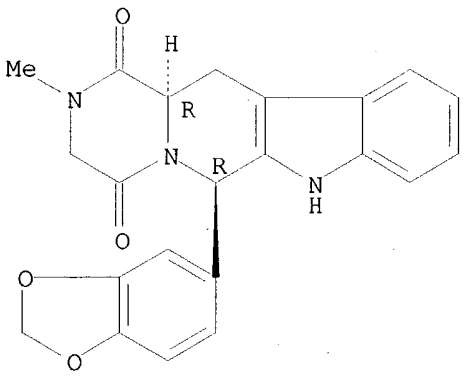
AB A method of treating **sexual dysfunction** comprising administering a therapeutically effective amount of a combination of phentolamine and cGMP PDE inhibitor (e.g. sildenafil), as well as pharmaceutical compns. and kits useful in those methods, are disclosed.

IT **171596-29-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phentolamine and cyclic GMP phosphodiesterase inhibitors for the treatment of **sexual dysfunction**)

RN 171596-29-5 HCAPLUS

CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:240243 HCAPLUS

DOCUMENT NUMBER: 124:333120

TITLE: Tetrahydro-β -**carbolines** with central nervous system activity

INVENTOR(S): Audia, James E.; Droste, James J.; Evrard, Deborah A.; Fludzinski, Pawel; Murdoch, Gwyn L.; Nelson, David L.

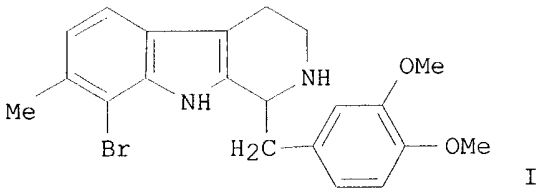
PATENT ASSIGNEE(S): Eli Lilly and Co., USA

SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 48, 544, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5500431	A	19960319	US 1994-206839	19940311
EP 620222	A2	19941019	EP 1994-302608	19940413
EP 620222	A3	19950412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
ZA 9402543	A	19951013	ZA 1994-2543	19940413
CA 2160481	AA	19941027	CA 1994-2160481	19940414
WO 9423720	A1	19941027	WO 1994-US4386	19940414
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9467102	A1	19941108	AU 1994-67102	19940414
JP 08509228	T2	19961001	JP 1994-523577	19940414
US 5508284	A	19960416	US 1995-448005	19950523
US 5635528	A	19970603	US 1995-481716	19950607
US 5760051	A	19980602	US 1995-481714	19950607
US 5861425	A	19990119	US 1997-845053	19970418
US 6090945	A	20000718	US 1998-187066	19981105

PRIORITY APPLN. INFO.:
US 1993-48544 B2 19930414
US 1994-206839 A 19940311
WO 1994-US4386 W 19940414
US 1995-481714 A3 19950607
US 1997-845053 A3 19970418

OTHER SOURCE(S): MARPAT 124:333120
GI



I

AB Tetrahydro-β -**carbolines** and their benzo homologs are prepared which show high selective affinity for serotoninergic 5-HT1C receptors and are useful in treatment of disorders associated with 5-HT1C modulation. Tryptamine derivs. with 5-HT2A, 5-HT2B, and/or 5-HT2C receptor-modulating activity are also prepared Thus, 7-methyl-8-bromo-1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole-HCl (I-HCl) displaced mesulergine-3H from beef brain 5-HT1C receptors with IC50 = 5.1 nM and displaced ketanserin-3H from 5-HT2 receptors with IC50 >100 nM. I-HCl was prepared from 2-bromo-3-methylaniline by reaction with NaNO2 and SnCl2 to form 2-bromo-3-methylphenylhydrazine-HCl, condensation with 4-chlorobutanal to form 6-methyl-7-bromotryptamine-HCl, and condensation with the azlactone from 3,4-dimethoxybenzaldehyde and

N-acetylglycine. **Capsules** were prepared containing 6-methyl-8-ethyl-1-[(3-bromo-4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole (Z)-2-butenedioate 20, starch 89, **microcryst . cellulose** 89, and Mg stearate 2 mg.

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=> d que stat l22
L12           1 SEA FILE=REGISTRY ABB=ON  171596-29-5/RN
L13          82 SEA FILE=HCAPLUS ABB=ON  L12
L14        6082 SEA FILE=HCAPLUS ABB=ON  L13 OR ?TADALAFIL? OR ?CIALIS?
L17         125 SEA FILE=HCAPLUS ABB=ON  (L14 OR (B OR ?BETA?)(W)?CARBOLIN?)
                AND (?TABLET? OR ?CAPSUL? OR ?DRUG?(W)?DELIV?)
L18           5 SEA FILE=HCAPLUS ABB=ON  L17 AND (?MICROCRYST?(W)?CELLULOS? OR
                ?WETT?(W)?AGENT?)
L19          16 SEA FILE=HCAPLUS ABB=ON  L17 AND SEX?(W)?FUNCT?
L20          20 SEA FILE=HCAPLUS ABB=ON  L18 OR L19
L21          10 SEA L20
L22           9 DUP REMOV L21 (1 DUPLICATE REMOVED)

=> d ibib abs l22 1-9

L22  ANSWER 1 OF 9  EMBASE  COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED.
     on STN
ACCESSION NUMBER:   2003179247  EMBASE
TITLE:              The year's new drugs.
AUTHOR:             Graul A.I.
SOURCE:             Drug News and Perspectives, (2003) 16/1 (22-39).
                    ISSN: 0214-0934  CODEN: DNPEED
COUNTRY:            Spain
DOCUMENT TYPE:      Journal; General Review
FILE SEGMENT:       030     Pharmacology
                    036     Health Policy, Economics and Management
                    037     Drug Literature Index
                    038     Adverse Reactions Titles
                    039     Pharmacy
LANGUAGE:           English
SUMMARY LANGUAGE:   English
AB   The United States was the most active market for new product launches (22
     products, 62.5%) in a year that saw 33 new chemical entities and
     biological drugs and two diagnostic agents reach their first markets. The
     most active therapeutic groups were antiinfective, oncolytic and metabolic
     drugs with five launches for each. .COPYRGT. 2003 Prous Science. All
     rights reserved.

L22  ANSWER 2 OF 9  EMBASE  COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED.
     on STN
ACCESSION NUMBER:   2003366166  EMBASE
TITLE:              Hypogonadism and erectile dysfunction: The role for
                    testosterone therapy.
AUTHOR:             Shabsigh R.
CORPORATE SOURCE:   Dr. R. Shabsigh, Department of Urology, Columbia
                    University, Columbia-Presbyterian Medical Center, 161 Fort
                    Washington Avenue, New York, NY 10032, United States.
                    rs66@columbia.edu
SOURCE:             International Journal of Impotence Research, (2003)
                    15/SUPPL. 4 (S9-S13).
                    Refs: 32
                    ISSN: 0955-9930  CODEN: IJIRFB
COUNTRY:            United Kingdom
DOCUMENT TYPE:      Journal; General Review
FILE SEGMENT:       003     Endocrinology
                    017     Public Health, Social Medicine and Epidemiology
                    028     Urology and Nephrology
                    037     Drug Literature Index
                    038     Adverse Reactions Titles
LANGUAGE:           English
```

SUMMARY LANGUAGE: English

AB The role of low testosterone levels in erectile dysfunction (ED) remains unclear. Both organic and psychogenic factors contribute to ED, with vasculogenic causes being the most common etiology. Approximately 10-20% of patients with ED are diagnosed with hormonal abnormalities. At the physiologic level, two second messenger systems are involved in mediating erections, one involving cyclic adenosine monophosphate (cAMP) and the other involving cyclic guanosine monophosphate (cGMP). PDE5 inhibitors such as sildenafil promote the cGMP pathway, while alprostadil affects the cAMP pathway. Evidence is strong that, in animal systems, testosterone has direct effects on erectile tissue. However, although testosterone clearly has an impact on libido in humans, its effect on penile function is less clear. Evaluation of ED includes medical, sexual, and psychosocial history assessments, as well as laboratory tests to check for diabetes and hormonal abnormalities. Initial interventions should involve correction of potentially reversible causes of ED, such as hypogonadism. First-line therapy for other patients is typically oral PDE5 inhibitors, such as sildenafil, **tadalafil**, or vardenafil. For patients who fail treatment with PDE5 inhibitors, local therapies such as intracavernous alprostadil are highly successful. Recent data also support the success of combination therapy with sildenafil and testosterone. This opens the possibility of other combinations of testosterone and other treatments of ED. The ability to exploit multiple pathways in the physiologic processes leading to erection may help improve therapy for ED.

L22 ANSWER 3 OF 9 EMBASE COPYRIGHT 2004 ELSEVIER INC. ALL RIGHTS RESERVED. on STN

ACCESSION NUMBER: 2002378170 EMBASE

TITLE: The potential role of minoxidil in the hair transplantation setting.

AUTHOR: Avram M.R.; Cole J.P.; Gandelman M.; Haber R.; Knudsen R.; Leavitt M.L.; Leonard Jr. R.T.; Puig C.J.; Rose P.T.; Vogel J.E.; Ziering C.L.; Fitzpatrick R.E.

CORPORATE SOURCE: Dr. M.R. Avram, 927 Fifth Ave., New York, NY 10021, United States. info@dravram.com

SOURCE: Dermatologic Surgery, (1 Oct 2002) 28/10 (894-900). Refs: 24
ISSN: 1076-0512 CODEN: DESUFE

COUNTRY: United States

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 009 Surgery
013 Dermatology and Venereology
030 Pharmacology
037 Drug Literature Index
038 Adverse Reactions Titles

LANGUAGE: English

SUMMARY LANGUAGE: English

AB BACKGROUND. Over the last decade surgical management of hair loss has become an increasingly popular and satisfying procedure for both men and women, as innovations in donor harvesting, graft size, and hairline design have resulted in consistently natural-appearing hair restoration. OBJECTIVE. In addition, a better understanding of the regulation of the hair-growth cycle has led to advances in the pharmacologic treatment of androgenetic alopecia. METHODS. Currently there are two U.S. Food and Drug Administration (FDA)-approved agents that promote hair regrowth: over-the-counter topical minoxidil solution for men and women and prescription oral finasteride **tablets** for men. In October 2001, a group of 11 international experts on hair loss and hair transplantation convened to review the physiology and effects of pharmacologic treatments of hair loss and to discuss the value of administering topical minoxidil

therapy as an adjunct to hair transplantation. RESULTS. This article presents the key findings and consensus points among the participants, including their current use of pharmacologic treatments, strategies for optimal results both pre- and postsurgery, and the importance of realistic patient expectations and compliance. CONCLUSIONS. Based on the surgeons' clinical experience, the use of approved hair regrowth agents in hair transplant patients with viable but suboptimally functioning follicles in the region to be transplanted can increase hair density, speed regrowth in transplanted follicles, and complement the surgical result by slowing down or stopping further hair loss.

L22 ANSWER 4 OF 9 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2002608630 MEDLINE
DOCUMENT NUMBER: PubMed ID: 12365078
TITLE: [**Sexual dysfunction** in treated hypertensive patients. Results of a national survey]. Troubles de la sexualite chez les hypertendus traites. Resultats d'une enquete nationale.
AUTHOR: Hanon O; Mounier-Vehier Cl; Fauvel J P; Marquand A; Jaboureck O; Justin E P; Kearney-Schwartz A; Girerd X
CORPORATE SOURCE: Service de medecine interne, hopital Broussais, 96, rue Didot, 75014 Paris.
SOURCE: Archives des maladies du coeur et des vaisseaux, (2002 Jul-Aug) 95 (7-8) 673-7.
Journal code: 0406011. ISSN: 0003-9683.
PUB. COUNTRY: France
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: French
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200210
ENTRY DATE: Entered STN: 20021008
Last Updated on STN: 20021030
Entered Medline: 20021029

AB OBJECTIVES: To evaluate, using an self-administered questionnaire, the characteristics of **sexual function** in treated hypertensives. METHODS: In 459 hypertensive subjects, aged of 59 +/- 12 years, living in France and referred to hypertension **specialists** , a self-administered questionnaire evaluating quality of life and antihypertensive treatment was given before the consultation. Several questions focused on the quality of **sexual function** since the last 12 months (interest for sexuality, sexual pleasure, quality of erection). Details on antihypertensive treatments and cardiovascular characteristics were obtained from medical records. Antihypertensive treatments were prescribed since more than 10 years for 39% of subjects, since 5-10 years for 25%, since 1-5 years for 26%, and since less than 1 year for 10%. RESULTS: In this population of treated hypertensives, blood pressure level was higher in men than in women (145 +/- 22/86 +/- 13 vs 135 +/- 25/76 +/- 15; $p < 0.01$). In the questionnaire, the section with **sexual function** questions was filled out extensively in 92% of men (248/268), but only in 74% of women (142/191). Sexual disturbance was declared by 38% of cases (148/390), but rate was significantly higher in men as compared to women (49% vs 18%; $p < 0.01$). In men, these modifications were characterised by an interest for sexuality decreased for 58%, unchanged for 41% and increased for 1%. Sexual pleasure was decreased for 49%, unchanged for 50%, and increased for 1%. Quality of erection was modified in 45%. The erections were less frequent for 31%, less durable for 19% and impossible for 11%. In women, interest for sexuality was decreased for 41% and unchanged for 59%, sexual pleasure was decreased for 34% and unchanged for 66%. Logistic regression analysis indicates that gender ($p < 0.001$), greater number of

antihypertensive **tablets** ($p < 0.01$), prescription of diuretics ($p = 0.03$) and presence of coronaropathy ($p = 0.01$) were independent determinants for sexual disturbance in treated hypertensives. CONCLUSION: This study indicates that sexual disturbance is declared by 38% of patients treated for hypertension. Because complaints are more frequent in men, treated with multiple medications including a diuretic, a specific interrogation should be proposed more regularly in these patients in order to detect and to deal with, if possible, sexual disability.

L22 ANSWER 5 OF 9 EMBASE
on STN

ACCESSION NUMBER: 2003006586 EMBASE
TITLE: How natural are 'natural herbal remedies'? A Saudi perspective.
AUTHOR: Bogusz M.J.; Al Tufail M.; Hassan H.
CORPORATE SOURCE: Dr. M.J. Bogusz, Dept. of Pathology and Lab. Medecine, King Faisal Special. Hosp./Res. Ctr., PO Box 3354, Riyadh 11211, Saudi Arabia. mbogusz@web.de
SOURCE: Adverse Drug Reactions and Toxicological Reviews, (2002) 21/4 (219-229).
Refs: 30
ISSN: 0964-198X CODEN: ADRRER
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 037 Drug Literature Index
038 Adverse Reactions Titles
030 Pharmacology
LANGUAGE: English
SUMMARY LANGUAGE: English
AB Objective: There is a rapidly growing trend in the consumption of herbal remedies in industrialised and developing countries. Users of herbal remedies are at risk of toxicity and adverse interactions of herbal preparations due to their frequent contamination with metals and adulteration with synthetic drugs. The purpose of this study was to assess the quality of herbal remedies present on the market in Saudi Arabia in recent years. Methodology: 247 herbal remedies and related preparations were examined from 2000-2001 at the Toxicology Laboratory, King Faisal **Specialist** Hospital and Research Centre, Riyadh, Saudi Arabia. Herbal powder samples were the most common sample type examined (n = 80), followed by complete, packed preparations (n = 59), single undescribed **capsules** or pills (n = 46), loose plant leaves or seeds (n = 28), creams (n = 18) and liquid or jelly samples (n = 16). All samples were subjected to toxicological screening for organic substances using gas chromatographic-mass spectrometric analysis, screening for heavy metals (arsenic, mercury, and lead) using inductive coupled plasma-mass spectrometry and microbiological examination. Results: The preparations analysed were used to treat the following indications: leukaemia and other forms of cancer (n = 22); obesity (n = 18); diabetes mellitus (n = 14); rheumatic disorders (n = 14); skin pigmentation problems (n = 11); or to enhance male sexual activity (n = 9). In 123 cases, the indication of use was not known. 39 samples contained high concentrations of heavy metals. This was particularly striking in remedies used to treat leukaemia (arsenic content of 522-161 600 ppm) and in creams for whitening skin (mercury content of 5 700-126 000 ppm). Eight preparations contained synthetic drugs (e.g. benzodiazepines and tricyclic antidepressants in sedative preparations, cyproheptadine in a remedy to gain bodyweight, ibuprofen and dipyrone in herbal **capsules** used to treat rheumatism). 18 samples were contaminated with micro-organisms. 14 samples contained toxic substances of natural origin. Of the 247 examined preparations, 77 (i.e. over 30%) were disqualified due to high heavy

metals content, bacterial contamination or presence of toxic organic substances. Conclusion: The study shows an urgent need to control the production, importing and selling of herbal preparations.

L22 ANSWER 6 OF 9 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 2001-182862 [18] WPIDS
CROSS REFERENCE: 2001-182861 [14]
DOC. NO. CPI: C2001-054569
TITLE: **Capsule** formulations containing a **beta -carboline** phosphodiesterase inhibitor, exhibit good stability and bioavailability and are useful in treating **sexual dysfunction.**
DERWENT CLASS: A96 B02
INVENTOR(S): ANDERSON, N R; GULLAPALLI, R P
PATENT ASSIGNEE(S): (LILL-N) LILLY ICOS LLC
COUNTRY COUNT: 93
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001008687	A1	20010208	(200118)*	EN	31

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW

AU 2000044912	A	20010219	(200129)	
EP 1200091	A1	20020502	(200236)	EN

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001008687	A1	WO 2000-US11136	20000426
AU 2000044912	A	AU 2000-44912	20000426
EP 1200091	A1	EP 2000-926371	20000426
		WO 2000-US11136	20000426

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000044912	A	Based on	WO 2001008687
EP 1200091	A1	Based on	WO 2001008687

PRIORITY APPLN. INFO: US 1999-146924P 19990803
AN 2001-182862 [18] WPIDS
CR 2001-182861 [14]
AB WO 200108687 A UPAB: 20020610
NOVELTY - Soft **capsule** formulation containing (6R-trans)-6-(1,3-benzodioxol- 5-yl)-2,3,6,7,12,12a-hexahydro-2-methylpyrazino- (1',2':1,6)pyrido(3,4-b)indole-1,4-dione (I) and a carrier is new.
DETAILED DESCRIPTION - Soft **capsule** comprises (a) a shell comprising gelatin which **encapsulates** (b) a pharmaceutical formulation comprising (i) the active agent (6R-trans)-6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-me thylpyrazino- (1',2':1,6)pyrido(3,4-

b)indole-1,4-dione (I) and (ii) a carrier.

ACTIVITY - Vasotropic.

MECHANISM OF ACTION - Cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase inhibitor.

USE - (I) is an inhibitor of type 5 cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase and is useful in treatment of **sexual dysfunction** e.g. male erectile dysfunction or female arousal disorder. (I) is disclosed in US5859006.

ADVANTAGE - The composition provides dosage uniformity and has good stability and bioavailability characteristics.

Dwg.0/0

L22 ANSWER 7 OF 9 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN

ACCESSION NUMBER: 2001-182861 [18] WPIDS
CROSS REFERENCE: 2001-182862 [18]
DOC. NO. CPI: C2001-054568
TITLE: Formulations containing a **beta-carboline** compound useful as a phosphodiesterase inhibitor, exhibit good stability and bioavailability and are useful in treating **sexual dysfunction**.
DERWENT CLASS: A96 B02
INVENTOR(S): ANDERSON, N R; KRAL, M A; OREN, P L
PATENT ASSIGNEE(S): (LILL-N) LILLY ICOS LLC
COUNTRY COUNT: 93
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001008686	A1	20010208	(200118)*	EN	38
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW					
W: AE AG AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
AU 2000044909	A	20010219	(200129)		
BR 2000012863	A	20020416	(200234)		
NO 2002000532	A	20020326	(200235)		
EP 1200090	A1	20020502	(200236)	EN	
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI					
SK 2002000173	A3	20020509	(200239)		
KR 2002014843	A	20020225	(200258)		
CZ 2002000386	A3	20020717	(200260)		
HU 2002002513	A2	20021028	(200277)		
CN 1365282	A	20020821	(200281)		
JP 2003505509	W	20030212	(200321)		29
ZA 2002000823	A	20030430	(200334)		46
NZ 516616	A	20030725	(200357)		
MX 2002001196	A1	20030201	(200413)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001008686	A1	WO 2000-US11130	20000426
AU 2000044909	A	AU 2000-44909	20000426
BR 2000012863	A	BR 2000-12863	20000426
		WO 2000-US11130	20000426

NO 2002000532	A	WO 2000-US11130	20000426
		NO 2002-532	20020201
EP 1200090	A1	EP 2000-926368	20000426
		WO 2000-US11130	20000426
SK 2002000173	A3	WO 1999-HU50	19990705
		SK 2002-173	20000705
KR 2002014843	A	KR 2002-701406	20020201
CZ 2002000386	A3	WO 2000-US11130	20000426
		CZ 2002-386	20000426
HU 2002002513	A2	WO 2000-US11130	20000426
		HU 2002-2513	20000426
CN 1365282	A	CN 2000-811036	20000426
JP 2003505509	W	WO 2000-US11130	20000426
		JP 2001-513416	20000426
ZA 2002000823	A	ZA 2002-823	20020130
NZ 516616	A	NZ 2000-516616	20000426
		WO 2000-US11130	20000426
MX 2002001196	A1	WO 2000-US11130	20000426
		MX 2002-1196	20020201

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 2000044909	A	Based on	WO 2001008686
BR 2000012863	A	Based on	WO 2001008686
EP 1200090	A1	Based on	WO 2001008686
SK 2002000173	A3	Based on	WO 2001008686
CZ 2002000386	A3	Based on	WO 2001008686
HU 2002002513	A2	Based on	WO 2001008686
JP 2003505509	W	Based on	WO 2001008686
NZ 516616	A	Based on	WO 2001008686
MX 2002001196	A1	Based on	WO 2001008686

PRIORITY APPLN. INFO: US 1999-146924P 19990803
AN 2001-182861 [18] WPIDS
CR 2001-182862 [18]
AB WO 200108686 A UPAB: 20040223
NOVELTY - The phosphodiesterase inhibitor (6R-trans)-6-(1,3-benzodioxol-5-yl)- 2,3,6,7,12,12a-hexahydro-2-methylpyrazino- (1',2':1,6)pyrido(3,4-b)indole-1,4-dione(I) is formulated with a water-soluble diluent, a lubricant, a hydrophilic binder and a disintegrant to improve its dosage uniformity and stability and bioavailability properties.

DETAILED DESCRIPTION - Pharmaceutical formulation comprises: (a) the compound (6R-trans)-6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methylpyrazino- (1',2':1,6)pyrido(3,4-b)indole-1,4-dione (I), as the free drug; (b) a water-soluble diluent; (c) a lubricant; (d) a hydrophilic binder which is a cellulose derivative and/or povidone; and (e) a disintegrant which is croscarmellose sodium and/or crospovidone.

ACTIVITY - Vasotropic.

MECHANISM OF ACTION - Cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase inhibitor.

USE - (I) is an inhibitor of type 5 cyclic guanosine 3',5'-monophosphate-specific phosphodiesterase and is useful in treatment of **sexual dysfunction** e.g. male erectile dysfunction or female arousal disorder. (I) is disclosed in US5859006.

ADVANTAGE - The formulation provides dosage uniformity and has good stability and bioavailability characteristics.

Dwg.0/0

L22 ANSWER 8 OF 9 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1997-087305 [08] WPIDS
DOC. NO. CPI: C1997-028406
TITLE: New tetra hydro-**beta-carboline** derivs. with benzo heterocyclic gp. - used to treat disorders associated with dysfunction of 5-HT-2C receptors e.g. CNS, sleep and eating disorders and **sexual dysfunction.**
DERWENT CLASS: B02
INVENTOR(S): HANSEN, J B; HANSEN, J
PATENT ASSIGNEE(S): (NOVO) NOVO-NORDISK AS
COUNTRY COUNT: 71
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9700871	A1	19970109	(199708)*	EN	25
RW: AT BE CH DE DK EA ES FI FR GB GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG					
W: AL AM AT AU AZ BB BG BR BY CA CH CN CZ DE DK EE ES FI GB GE HU IL IS JP KE KG KP KR KZ LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN					
AU 9662981	A	19970122	(199719)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9700871	A1	WO 1996-DK258	19960614
AU 9662981	A	AU 1996-62981	19960614

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 9662981	A	Based on	WO 9700871

PRIORITY APPLN. INFO: DK 1995-722 19950623
AN 1997-087305 [08] WPIDS
AB WO 9700871 A UPAB: 19970220
Tetrahydro-**beta-carboline** derivs. of formula (I) and their salts are new. R1, R2 = H, 1-6C alkyl, 3-6C cycloalkyl, 1-6C alkoxy, aralkyl, halo, haloalkyl, NO2 or 1-6C alkylthio; R3, R5, R6 = H, 1-6C alkyl, 2-6C alkenyl or 3-6C cycloalkyl; R4 = a benzo-fused heterocyclic gp. of formula (a); A-B-Y = together with the 2C's of the benzene ring forms a 5 membered heterocyclic ring containing one or more N, O or S atoms, opt. substd. with one or more of H, halo, 1-6C alkyl, 2-6C alkenyl, NO2, haloalkyl or 3-6C cycloalkyl; R7, R8 = H, halo, 1-6C alkyl, 2-6C alkenyl, NO2, CN, haloalkyl or 3-6C cycloalkyl.

USE - (I) are used in the treatment of disorders of the central nervous system, sleep disorders, eating disorders or **sexual dysfunctions** which are influenced by dysfunction of the 5-HT2c receptors (claimed). (I) have high affinity for the 5HT2c receptor and can be used to treat psychiatric and neurological disorders; such as schizophrenia, anxiety, depression, obsessive-compulsive disorders, panic and diseases related to sleep, appetite, thermoregulation, sexual behaviour, motor activity and neuroendocrine function and to treat brain oedema.

Tablets contain 0.05-500mg pref. 1-100mg/**tablet**. Admin. may be oral, suppositories or parenteral.

Dwg.0/0

L22 ANSWER 9 OF 9 WPIDS COPYRIGHT 2004 THOMSON DERWENT on STN
ACCESSION NUMBER: 1992-042839 [06] WPIDS
DOC. NO. CPI: C1992-018796
TITLE: Solid oral ifosfamide dosage forms - comprising **capsules** containing **microcrystalline cellulose**, or **tablets** containing tri calcium phosphate and polyethylene glycol, etc..
DERWENT CLASS: A96 B03 B07
INVENTOR(S): ENGEL, J; MILSMANN, E; SAUERBIER, D; ISAAC, O; MOLGE, K; HORST, R
PATENT ASSIGNEE(S): (ASTA) ASTA PHARMA AG; (SAUE-I) SAUERBIER D; (ASTA) ASTA MEDICA AG
COUNTRY COUNT: 32
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
EP 469440	A	19920205	(199206)*		
R: AT BE CH DE ES FR GB GR IT LI LU NL SE					
DE 4124481	A	19920206	(199207)		
NO 9103019	A	19920204	(199215)		
AU 9181589	A	19920206	(199216)		
CA 2048367	A	19920204	(199217)		
FI 9103710	A	19920204	(199219)		
ZA 9106124	A	19920429	(199223)		15
HU 59316	T	19920528	(199227)		
PT 98532	A	19920630	(199230)		
CS 9102409	A2	19920318	(199241)		
CN 1058715	A	19920219	(199242)		
JP 04243828	A	19920831	(199242)		6
US 5158776	A	19921027	(199246)		5
NZ 239222	A	19930127	(199310)		
AU 643309	B	19931111	(199401)		
AU 9344836	A	19931111	(199401)		
AU 649184	B	19940512	(199425)		
EP 469440	B1	19940824	(199433)	GE	7
R: AT BE CH DE DK ES FR GB GR IT LI LU NL SE					
DE 59102620	G	19940929	(199438)		
ES 2058999	T3	19941101	(199444)		
NO 178252	B	19951113	(199550)		
IE 66378	B	19951227	(199609)		
CZ 280475	B6	19960117	(199610)		
IL 99031	A	19960804	(199646)		
FI 97951	B	19961213	(199704)		
RO 113611	B1	19980930	(199851)		
SK 279739	B6	19990312	(199919)		
SK 279740	B6	19990312	(199919)		
JP 3061898	B2	20000710	(200037)		6
CA 2048367	C	20000523	(200039)	EN	
KR 177170	B1	19990320	(200043)		
JP 2000229860	A	20000822	(200045)		6

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
EP 469440	A	EP 1991-112368	19910724
DE 4124481	A	DE 1991-4124481	19910724

FI 9103710	A		FI 1991-3710	19910802
ZA 9106124	A		ZA 1991-6124	19910802
HU 59316	T		HU 1991-2594	19910802
PT 98532	A		PT 1991-98532	19910801
CS 9102409	A2		CS 1991-2409	19910802
CN 1058715	A		CN 1991-105271	19910802
JP 04243828	A		JP 1991-191414	19910731
US 5158776	A		US 1991-733756	19910724
NZ 239222	A		NZ 1991-239222	19910801
AU 643309	B		AU 1991-81589	19910802
AU 9344836	A	Div ex	AU 1991-81589	19910802
			AU 1993-44836	19930823
AU 649184	B	Div ex	AU 1991-81589	19910802
			AU 1993-44836	19930823
EP 469440	B1		EP 1991-112368	19910724
DE 59102620	G		DE 1991-502620	19910724
			EP 1991-112368	19910724
ES 2058999	T3		EP 1991-112368	19910724
NO 178252	B		NO 1991-3019	19910802
IE 66378	B		IE 1991-2774	19910802
CZ 280475	B6		CS 1991-2409	19910802
IL 99031	A		IL 1991-99031	19910801
FI 97951	B		FI 1991-3710	19910802
RO 113611	B1		RO 1991-148008	19910715
SK 279739	B6		SK 1998-543	19910802
SK 279740	B6		CS 1991-2409	19910802
JP 3061898	B2		JP 1991-191414	19910731
CA 2048367	C		CA 1991-2048367	19910802
KR 177170	B1		KR 1991-13365	19910802
JP 2000229860	A	Div ex	JP 1991-191414	19910731
			JP 2000-6836	19910731

FILING DETAILS:

PATENT NO	KIND		PATENT NO
AU 643309	B	Previous Publ.	AU 9181589
AU 649184	B	Previous Publ.	AU 9344836
DE 59102620	G	Based on	EP 469440
ES 2058999	T3	Based on	EP 469440
NO 178252	B	Previous Publ.	NO 9103019
CZ 280475	B6	Previous Publ.	CS 9102409
FI 97951	B	Previous Publ.	FI 9103710
SK 279739	B6	Previous Publ.	CS 9800543
SK 279740	B6	Previous Publ.	CS 9102409
JP 3061898	B2	Previous Publ.	JP 04243828

PRIORITY APPLN. INFO: DE 1990-4024683 19900803
AN 1992-042839 [06] WPIDS
AB EP 469440 A UPAB: 19931122
Oral ifosfamide (I) dosage forms comprise: (A) **capsules** containing a compsn. comprising (I), **microcrystalline cellulose** (MC) and opt. small amts. of conventional flow improvers and mould release agents; or (B) **tablets** comprising 1 pt. weight (I), 0.1-1 pt. weight tricalcium phosphate and 0.04-0.4 pt. weight polyethylene glycol, where the **tablets** also contain 5-60 weight% flow improver, 1-10% disintegrant, 0.1-10% mould release agent and 0.1-80% binder. (I) is 3-(2-chloroethyl)-2-(chloroethylamino) -tetrahydro-2H-1,3,2-oxazaphosphorine 2-oxide.
USE/ADVANTAGE - (I) is a cytostatic agent. The dosage forms have good

storage stability, overcoming problems associated with the hygroscopicity of (I). @(8pp Dwg.No.0/0
0/0

ABEQ US 5158776 A UPAB: 19931006
Solid oral ifosfamide (I) formulation comprises ifosfamide **capsules** (IC) having a **capsule** mass consisting of (I) ad **microcrystalline cellulose** having a deg. of crystallinity of 0.5-0.9 or ifosfamide **tablets** (IT) comprising: 0.1-1 wt. pts. tribasic Ca phosphate, 0.04-0.4 wt. pts. polyethylene glycol; 5-60 wt. % filing and flow regulating agent; 1-10 wt. % disintegrant; 0.1-10 wt. % antiadhesion agent; and 0.1-80 wt. % binding agent.

Pref. the IC contains conventional flow regulating and antiadhesion agents.

USE/ADVANTAGE - (I) is a cytostatically active medication. There is no deleterious interaction between (I) and the **capsule** wall allowing oral admin. overcoming the unpleasant painful parenteral therapy which can only be performed by **specialised** medical personnel.
0/0

ABEQ EP 469440 B UPAB: 19941010
A solid oral ifosfamide formulation, characterised in that it takes the form of ifosfamide **capsules**, the weight of the **capsule** consisting essentially of the active ingredient, ifosfamide, and **microcrystalline cellulose**, optionally with additional smaller amounts of a conventional flow regulator and antiadhesion agent, or in that it takes form of **tablets** containing, based on one part by weight of ifosfamide, 0.1 - 1.0 part by weight of tricalcium phosphate and 0.04 - 0.4 part by weight of polyethylene glycol, and additionally containing, based on the weight of the **tablet**, 5 - 60% by weight of a filler, and flow regulator, 1 - 10% by weight of a disintegrating agent, 0.1 - 10% by weight of an antiadhesion agent and 0.1 - 80% by weight of a binder.
Dwg.0/0

Inventor Search

=> d ibib abs hitstr ind l8 1-3

L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100983 HCAPLUS
DOCUMENT NUMBER: 134:152655
TITLE: Pharmaceutical compositions containing β-**carboline** drugs
INVENTOR(S): **Anderson, Neil R.**; Hartauer, Kerry J.; **Kral, Martha A.**; Stephenson, Gregory A.
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008688	A2	20010208	WO 2000-US20981	20000801
WO 2001008688	A3	20010816		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012901	A	20020416	BR 2000-12901	20000801
EP 1200092	A2	20020502	EP 2000-952371	20000801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505510	T2	20030212	JP 2001-513418	20000801
NZ 516613	A	20030829	NZ 2000-516613	20000801
ZA 2002000825	A	20030207	ZA 2002-825	20020130
NO 2002000531	A	20020403	NO 2002-531	20020201

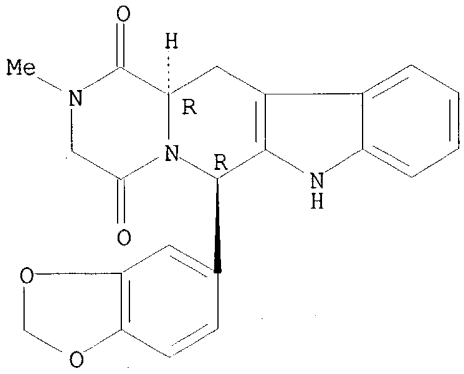
PRIORITY APPLN. INFO.: US 1999-147048P P 19990803
WO 2000-US20981 W 20000801

AB Pharmaceutical compns. containing β- **carboline** drugs and pharmaceutically acceptable salts and solvates thereof, wherein the drug is in free particulate form, is disclosed. A tablet contained a β-**carboline** drug 10.00, lactose monohydrate 153.80, spray dried lactose monohydrate 25.00, hydroxypropyl cellulose 4.00, croscarmellose sodium 16.00, hydroxypropyl cellulose 1.75, sodium lauryl sulfate 0.70, microcryst. cellulose 37.50, and magnesium stearate 1.25 mg. The improvement in bioavailability of the drug was demonstrated in humans.

IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing β- **carboline** drugs)

RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IC ICM A61K031-4985
ICS A61K009-14; A61P015-10
CC 63-6 (Pharmaceuticals)
ST pharmaceutical tablet beta **carboline** drug bioavailability
IT Sexual behavior
(disorder; pharmaceutical compns. containing β- **carboline** drugs)
IT Sexual behavior
(impotence; pharmaceutical compns. containing β- **carboline** drugs)
IT Dissolution rate
Drug bioavailability
Particle size
(pharmaceutical compns. containing β- **carboline** drugs)
IT Drug delivery systems
(tablets; pharmaceutical compns. containing β- **carboline** drugs)
IT **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing β- **carboline** drugs)

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100982 HCAPLUS
DOCUMENT NUMBER: 134:152654
TITLE: β- **Carboline** pharmaceutical compositions
INVENTOR(S): **Anderson, Neil R.**; Gullapalli, Rampurna P.
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008687	A1	20010208	WO 2000-US11136	20000426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1200091 A1 20020502 EP 2000-926371 20000426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

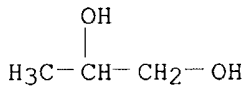
ZA 2002000823 A 20030204 ZA 2002-823 20020130

PRIORITY APPLN. INFO.: US 1999-146924P P 19990803
WO 2000-US11136 W 20000426

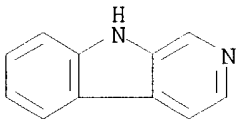
AB **β- Carboline** soft capsules contains a solution or suspension of a PDE5 inhibitor, and are useful for treating sexual dysfunction. Thus, a formulation contained a **β- carboline** 25.0, Capmul MCM 177.5, Gelucire 44/14 177.5, and propylene glycol 20.0 mg/capsule. In the phys. study of the above capsule formulation, no sedimentation was observed after storage at 4° for 120 days.

IT **57-55-6**, Propylene glycol, biological studies **244-63-3D**, **β- Carboline**, analogs **9003-39-8**, PVP **25322-68-3**, Polyethylene glycol **31692-85-0**, Glycofurol **121548-04-7**, Gelucire 44/14 **156259-68-6**, Capmul MCM **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**β- carboline** pharmaceutical compns.)

RN 57-55-6 HCAPLUS
CN 1,2-Propanediol (8CI, 9CI) (CA INDEX NAME)



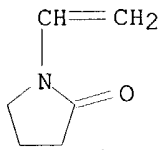
RN 244-63-3 HCAPLUS
CN 9H-Pyrido[3,4-b]indole (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



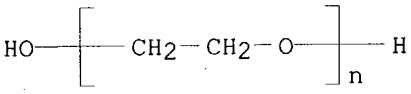
RN 9003-39-8 HCAPLUS
CN 2-Pyrrolidinone, 1-ethenyl-, homopolymer (9CI) (CA INDEX NAME)

CM 1

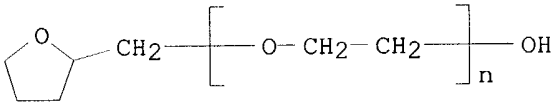
CRN 88-12-0
CMF C6 H9 N O



RN 25322-68-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 31692-85-0 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-[(tetrahydro-2-furanyl)methyl]-ω-hydroxy- (9CI) (CA INDEX NAME)

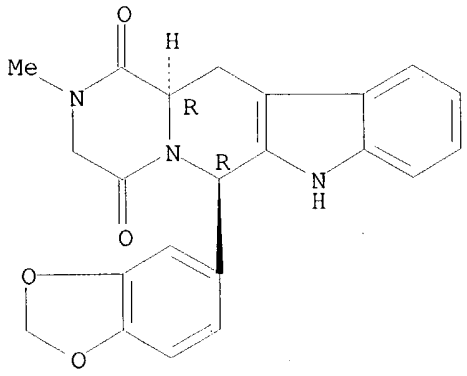


RN 121548-04-7 HCAPLUS
CN Gelucire 44/14 (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 156259-68-6 HCAPLUS
CN Capmul MCM (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IC ICM A61K031-495
ICS A61P015-10; A61K009-48
CC 63-6 (Pharmaceuticals)
ST **carboline** capsule glyceride PEG
IT Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(C8-10; β- **carboline** pharmaceutical compns.)
IT Drug delivery systems
(capsules; β- **carboline** pharmaceutical compns.)
IT Sexual behavior
(disorder; β- **carboline** pharmaceutical compns.)
IT Gelatins, biological studies
Polyoxyalkylenes, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β- **carboline** pharmaceutical compns.)
IT **57-55-6**, Propylene glycol, biological studies **244-63-3D**,
β- **Carboline**, analogs **9003-39-8**, PVP
25322-68-3, Polyethylene glycol **31692-85-0**, Glycofurol
121548-04-7, Gelucire 44/14 **156259-68-6**, Capmul MCM
171596-29-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β- **carboline** pharmaceutical compns.)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:100981 HCAPLUS
DOCUMENT NUMBER: 134:152653
TITLE: β- **Carboline** pharmaceutical compositions containing cellulose
INVENTOR(S): Oren, Peter L.; **Anderson, Neil R.**; **Kral, Martha A.**
PATENT ASSIGNEE(S): Lilly Icos Llc, USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

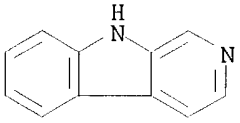
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001008686	A1	20010208	WO 2000-US11130	20000426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012863	A	20020416	BR 2000-12863	20000426
EP 1200090	A1	20020502	EP 2000-926368	20000426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505509	T2	20030212	JP 2001-513416	20000426
NZ 516616	A	20030725	NZ 2000-516616	20000426
ZA 2002000823	A	20030204	ZA 2002-823	20020130
NO 2002000532	A	20020326	NO 2002-532	20020201

PRIORITY APPLN. INFO.: US 1999-146924P P 19990803
WO 2000-US11130 W 20000426

AB β- **Carboline** formulations contain a c-GMP phosphodiesterase inhibitor, a water-soluble diluent, a lubricant, a hydrophilic binder, a disintegrant, and optional microcryst. cellulose and/or a wetting agent, are useful for treating sexual dysfunction. Thus, a tablet formulation contained a β- **carboline** 5.00, lactose monohydrate 109.655, lactose monohydrate (spray dried) 17.50, Hydroxypropyl cellulose 4.025, croscarmellose sodium 6.30, SLS 0.49, microcryst. cellulose (granular-102) 26.25, croscarmellose sodium 4.90, and Mg stearate 0.88 mg/tablet.
IT **244-63-3D**, β- **Carboline**, analogs **9003-39-8**
, Povidone **25322-68-3**, Polyethylene glycol **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(β- **carboline** pharmaceutical compns. containing cellulose)

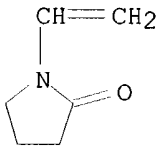
RN 244-63-3 HCAPLUS
CN 9H-Pyrido[3,4-b]indole (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



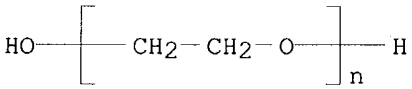
RN 9003-39-8 HCAPLUS
CN 2-Pyrrolidinone, 1-ethenyl-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 88-12-0
CMF C6 H9 N O

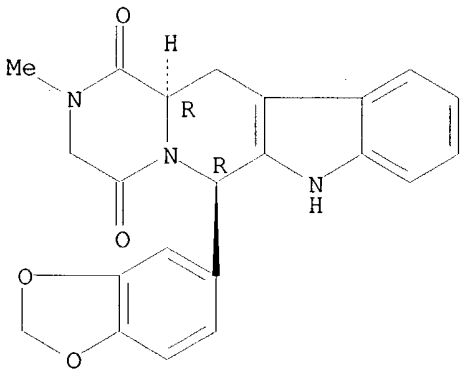


RN 25322-68-3 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy- (9CI) (CA INDEX NAME)



RN 171596-29-5 HCAPLUS
CN Pyrazino[1',2':1,6]pyrido[3,4-b]indole-1,4-dione, 6-(1,3-benzodioxol-5-yl)-2,3,6,7,12,12a-hexahydro-2-methyl-, (6R,12aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IC ICM A61K031-495

ICS A61K009-20; A61P015-10
CC 63-6 (Pharmaceuticals)
ST beat **carboline** pharmaceutical cellulose
IT Monoglycerides
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acetates; β- **carboline** pharmaceutical compns. containing cellulose)
IT Sexual behavior
(disorder; β- **carboline** pharmaceutical compns. containing cellulose)
IT Castor oil
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ethoxylated; β- **carboline** pharmaceutical compns. containing cellulose)
IT Alcohols, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyhydric; β- **carboline** pharmaceutical compns. containing cellulose)
IT Drug delivery systems
(tablets; β- **carboline** pharmaceutical compns. containing cellulose)
IT Fats and Glyceridic oils, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vegetable, hydrogenated; β- **carboline** pharmaceutical compns. containing cellulose)
IT Particle size distribution
Wetting agents
(β- **carboline** pharmaceutical compns. containing cellulose)
IT Diglycerides
Hydrocarbon oils
Polyoxyalkylenes, biological studies
Polysaccharides, biological studies
Waxes
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β- **carboline** pharmaceutical compns. containing cellulose)
IT 7631-86-9, Silica, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(colloidal; β- **carboline** pharmaceutical compns. containing cellulose)
IT 9068-52-4, CGMP Phosphodiesterase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; β- **carboline** pharmaceutical compns. containing cellulose)
IT 50-70-4, Sorbitol, biological studies 50-99-7, Dextrose, biological studies 57-11-4, Stearic acid, biological studies 57-50-1, Sucrose, biological studies 63-42-3, Lactose 69-65-8, Mannitol 87-99-0, Xylitol 151-21-3, SLS, biological studies **244-63-3D**, β-**Carboline**, analogs 532-32-1, Sodium benzoate 557-04-0 577-11-7, Sodium docusate 1344-95-2, Calcium silicate 1592-23-0 4070-80-8, Sodium stearyl fumarate **9003-39-8**, Povidone 9004-34-6D, Cellulose, derivs., biological studies 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9005-25-8, Starch, biological studies 9005-65-6, Polysorbate 80 9050-36-6, Maltodextrin 12619-70-4, Cyclodextrin 14807-96-6, Talc, biological studies 18641-57-1, Glyceryl behenate **25322-68-3**, Polyethylene glycol 64044-51-5, Lactose monohydrate 74811-65-7, Croscarmellose sodium 106392-12-5, Poloxamer **171596-29-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β- **carboline** pharmaceutical compns. containing cellulose)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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